Quin-AD(OMe)-FMK M.Wt:389

FIGURE 1A

Quin-VAD(OMe)-FMK M.Wt:488; C24H19N4O6F

FIGURE 2

FIGURE 2A

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	Caspas	se 9	FIGURE 8
inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -ASA
0.005uM 0.01uM .025uM .05uM 1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 0 0 0 16.2 21.8 47.4 62 82.4	100 80 60 40 20 -3 -2 -1 20 0 1 2
			log of conc. in uM

	Caspas	e 8	
inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -ASA
0.005uM 0.01uM .025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 0 0 4.7 5.5 21.1 45.5 73.6 96.8 = 99.8	120 100 80 60 40 20
			log of conc. in uM

Caspase 1

inh conc	log of con	% inhib
.025uM	-1.602	0
.05uM	-1.301	0
.1uM	-1	0
0.5uM	-0.301	18.2
1uM	0	34.8
2.5uM	0.3979	69.7
5uM	0.6989	100
10uM	1	100
25uM	1.398	100
50uM	1.6989	100

Q-(C=O)-VD(OMe)-CH₂-ASA

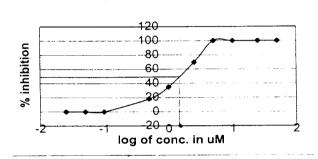
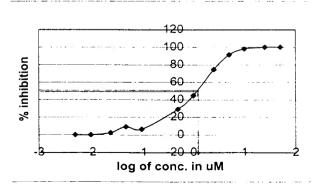


FIGURE 11

Caspase 3

inh conc	og of con	% inhib
0.005uM 0.01uM .025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 2.3 9.1 6.4 29.3 45 74.8 91.5 91.5 100

Q-(C=O)-VD(OMe)-CH₂-ASA



Caspase 1

inh conc	log of con	% inhib
.0025uM	-2.602	3.14
.005uM	-2.301	2.6
.01uM	-2	1.4
.025uM	-1.602	10.3
.05uM	-1.301	8.3
.1uM	-1	23.7
0.5uM	-0.301	50.9
1uM	0	66.29
2.5uM	0.3979	90.3
5uM	0.6989	96.3
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

Indole-(C=O)-VD(OMe)-CH2-OPh

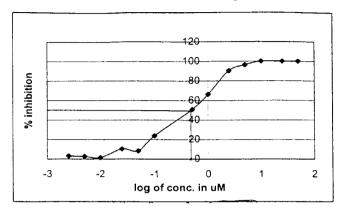
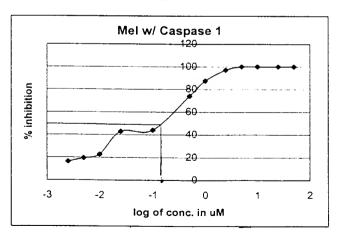


FIGURE 13

Caspase 1

inh conc	log of con	% inhib
.0025uM	-2.602	16 3
.005uM	-2.301	19 4
.01uM	-2	22 6
.025uM	-1.602	42.86
.1uM	-1	44
0.5uM	-0.301	74
1uM	0	87 4
2.5uM	0.3979	97.1
5uM	0.6989	100
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

Melatonin-VD(OMe)-CH2-OPh



Bzl-Melatonin-VD(OMe)-CH2-OPh Caspase 1 log of con % inhib inh conc 0 -2.602 0.0025uM 0 -2.301 120 0.005uM 0 0.01uM -2 0 .025uM -1.602 7.3 .05uM -1.301 80 inhibition 26.8 -1 .1uM -0.301 93.4 0.5uM 99.6 0 1uM 40 100 2 5uM 0.3979 100 0.6989 20 5uM 100 10uM 1.398 100 25uM 1.6989 100 20 0 50uM log of conc. in uM

Caspase 1			HydroxyTryptophan-VD(OMe)-CH2-OPh
inh conc	log of con	% inhib	
0.0025uM	-2.602 -2.301	38.4 25.7	1_ 120
0.005uM 0.01uM	-2.301 -2	29.6	100
.025uM	-1.602	23	
.05uM	-1.301	44.3	inhibition 10
0.5uM	-0.301	57.2	# ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~
1uM	0	91.4	i
2.5uM	0.3979	95	
5uM	0.6989	96.9	× 20
			0
			-3 -2 log of conc. in u M

Caspase 1

100

100

inh conc	log of con	% inhib
0.0025uM	-2.602	0
0.005uM	-2.301	0
0.01uM	-2	0
.025uM	-1.602	0
.05uM	-1.301	0
.1uM	-1	20.7
0.5uM	-0.301	42.7
1uM	0	81.7
2.5uM	0.3979	100
5uM	0.6989	100
10uM	1	100

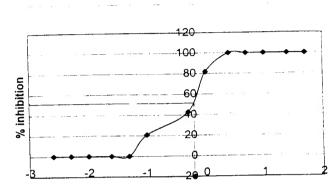
1.398

1.6989

25uM

50uM

TRP-VD(OCH₃)-CH₂-OPh · TFA



log of conc. in uM

FIGURE 17A

Caspase 9

inh cor c	log of con	% inhib
.025uM 05uM	-1_602 -1.301	33.6 43.9
.1uM	-1	58.7
0 5uM 1uM	-0 301 0	90.7 94.7
2,5uM	0 3979	100
5uM 10uM	0 6989 1	100 100
25uM	1.3979	100
50uM	1.6979	100

Q-(C=O)-L-D-(OMe)-CH₂-F (the FMK)

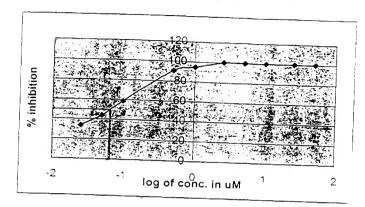


FIGURE 17B

Caspase 9

inn sons	log of con	والإعار وو	Q-(C=O)-L-D-(OMe)-CH ₂ -F (the FMK)	
.025uNt	-1 502	25 7		
.05cN!	-1.301	37.3		
.t⊒M	-1	58.9	120	
0 5uM	-0.301	88.9		
191.1	0	94.9	100	
2,5uM	0.3979	96.1	5	
5uA1	0.6989	100	ego 80 kg	
10uM	1	100	60	
25uM	1.3979	100		
50uM	1.6979	100	30	
			-2 -1 log of cohc. in uM 1 2	

FIGURE 18A

Caspase 9

·- conc	log of con	% inhib
025uM 05uM 3 uM	-1.602 -1.301 -1	47 3 64 4 81 2
0.5uM	-0.30† 0	97.8 99.5
2 5uM 5uM	0.3979 0.6989	100
1.0uM	1	100
25uM 50uM	1.3979 1.6979	100 100

$Q-(C=O)-V-D-(OCH_3)-CH_2-F$ (the FMK)

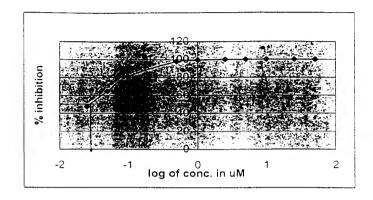
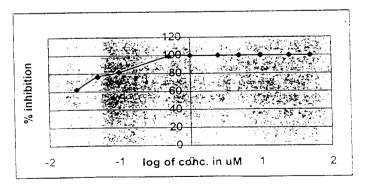


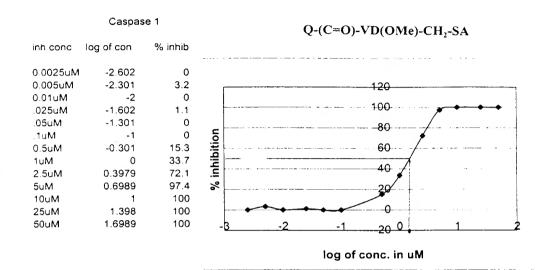
FIGURE 18B

Caspase 9

log of con	% innib
-1.602	62.2
-1.301	76.3
-1	81.3
-0 301	99.1
0	100
0.3979	100
0.6989	100
1	100
1.3979	100
1.6979	100
	-1 502 -1.301 -1 -0 301 0 0.3979 0.6989 1 1.3979

Q-(C=O)-V-D-(OCH₃)-CH₂-F (the FMK)





Caspase 3

inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -SA
0.005uM 0.01uM .025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM	-2.301 -2 -1.602 -1.301 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 0.57 2.8 18.3 32.4 54.7 87.8 97.6 99.7 100 100	120 100 80 60 40 20 0 10g of conc. in uM

Q-(C=O)-L-D-CH₂-OPh

Caspase 1

inn conc	log of con	% inhib
.025uM .05uM .1uM 0.5uM	-1.602 -1.301 -1 -0.301	19 22 19 46.7 69.5
1uM 2 5aM 5aM 10uM	0 3979 0 6989	92,7 98.5 87.3

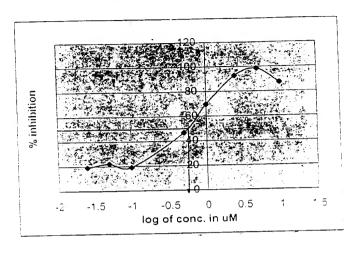


FIGURE 22

Q-(C=O)-V-D-CH₂-OPh

Caspase 1

oneo rini	log of con	° a innib
025UM 05UM 1UM 0 5UM 1UM 2 5UM	-1 602 -1.301 -1 -0 301 -0 3979	39 8 55.98 67.2 95.8 98.5
5UM 10uM	0.698 9 1	100 100

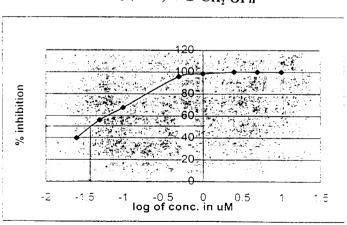


FIGURE 25A

Non esterase treated Inhibitor D with Caspase 3

100

100

100

100

inh cond	log of can	% inhib
025UM	-1.602	37.8
05uM	-1.301	52
1 LM	-1	73
0.5314	-0 301	100
1614	G.	100
2 561.1	0.3979	100

0.6989

1,3979

1.6979

5uM

10ut1

25uM

50uM

Q-(C=O)-L-D-(OMe)- CH_2 -F

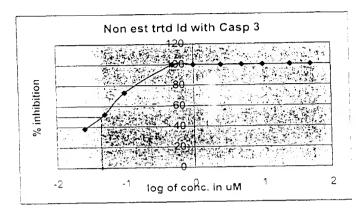
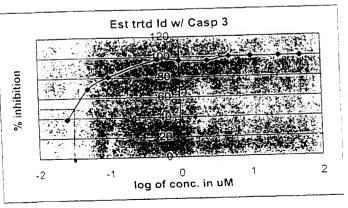


FIGURE 25B

Esterase treated Innib tor D with Caspase 3

 $Q-(C=O)-L-D-(OMe)-CH_2-F$

inh sono	log of con	°₂ inhib
C25UM C5UM 10M 0 5UM 10M 2 5UM 5UM 10UM 25UM 50UM	-1 602 -1,301 -1 -0 301 0 3979 0,6989 1,3979 1,6979	38.2 68.9 80.7 97.6 96.6 96.2 100 100 100



Esterase treated Innibitor C with Cascase 1

inh conc	log of con	°o inhib
.025uM 05uM	-1.602	40.1
.1uM	-1.301 -1	54 9 73 2
0 5uM	-0.301	81.7
1uM 2.5uM	0 0.3979	100
5uM	0.3979	100 100
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

 $Q-(C=O)-V-D-(OMe)-CH_2-F$

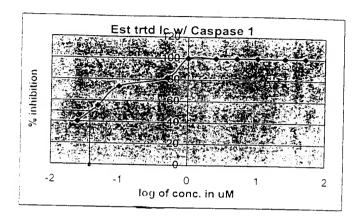
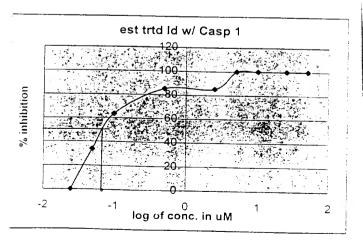


FIGURE 24

Esterase treated Inhibitor D with Caso 1

TT cond	ing of con	didni E
.025UM .05uM .duM .05uM .0.5uM .2.5uM	-1,602 -1 301 -1 -0,301 0 3979	0 33.8 63.4 85.2 95.2
50M 190M 250M 500M	0 6989 1 1 3979 1 6979	100 100 100 100

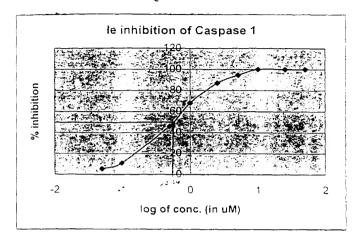
$Q-(C=O)-L-D-(OMe)-CH_2-F$



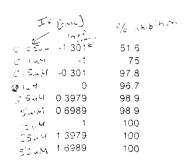
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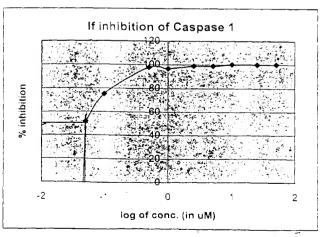
Q-LD-OPh

	$\overline{\mathcal{L}}$	
0.05.4	-1.301	5.5
0.121	-1	11
C 5 - M	-0.301	46
ساندا	0	68
2-5-24	0.3979	86.8
5 44	0.6989	94.5
C1	1	100
25 4	1.3979	100
50 241	1.6989	100



Q-VD-OPh





Caspase 3 w/ IE -

inh conc	log of con	% inhib
.025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM	-1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.3979	31.85 47.1 59.2 96.2 100 100 100
50uM	1.699	100

Q-(C=O)-LD-CH₂-O-Ph

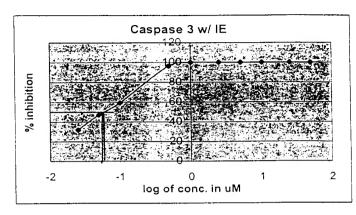


FIGURE 28

-
_
_
ø
80
DS
108
3108
CIDS
CIDS
Acids
Acids
AMINO ACIDS

29				
FIGURE		H2C CH2 H2C @ CH H2C \	L- Proline	(Pro)
	$\begin{pmatrix} 0 & & & \\ & C & & \\ & & & $	£	L - Phenylalanine	(Phe)
Acibs	$ \begin{array}{ccc} 0 & & & & & & & & & & & & & & & & & & &$	CH2 CH3 CH3	L · Isoleucine	(Heu)
IMPORTANT AMINO	$H = \begin{pmatrix} 0 & 0 & 0 \\ 0 & 0 & 0 \\ 0 & 0 & 0 \\ 0 & 0 &$	СН2 1-1-2 1	L-Leucine	(160)
IM	0 = 0 $0 = 0$ 0 0 0 0 0 0 0 0 0	H ₃ C CH	L - Valine	(Nal)
	H_{3N-C-H}	<i>(</i> γ ₂	L-Alanine	(Ala)
	1) C=00 HyN-CH2		Gycine	(617)

I)
$$C_{-0}^{0} = C_{-0}^{0} =$$